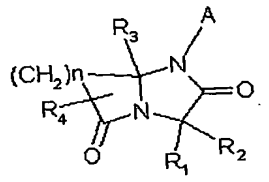
CLAIMS

1. Compounds of the general formula (I)

(I)



in which:

A is chosen among carbocyclic aromatic groups, heterocyclic aromatic groups, and arylC_{1-4}alkyl;

R_1 is chosen among:

- hydrogen,
- arylC_{1-7}alkyl, optionally substituted on the aryl moiety with one or more groups chosen among hydroxy, C_{1-4}alkoxy, halogen, haloC_{1-4}alkyl;
- heterocyclylC_{1-7}alkyl, optionally substituted on the heterocyclyl moiety with one or more groups chosen among C_{1-4}alkyl and hydroxy;
- C_{1-7} alkyl, optionally interrupted by an oxygen or sulphur atom or optionally substituted at any position by one or more groups chosen among hydroxy, thio, amino, carboxyl, aminocarbonyl, guanidinyl.

R_2 is chosen among hydrogen, C_{1-4}alkyl, arylC_{1-4}alkyl and phenyl;

or else R_1 and R_2, taken together, form a saturated carbocyclic ring containing from 3 to 8 carbon atoms;

R_3 is chosen among hydrogen, C_{1-4}alkyl, arylC_{1-4}alkyl, $CONH_2$ and $COOR_5$ in which R_5 is chosen between hydrogen and C_{1-4}alkyl;

R_4 is chosen among hydrogen, C_{1-4}alkyl, aryl, arylC_{1-4}alkyl and heterocyclyl;

n is 2, 3 or 4;

in the form of a racemic mixture or in the form of enantiomers, and pharmaceutically acceptable salts or solvates thereof.

2. The compounds according to Claim 1, in which: A is phenyl, thienyl, pyridyl, pyrimidinyl group, optionally substituted, benzyl or 4-methylbenzyl; R_1 is

hydrogen, C_{1-4} alkyl, benzyl, $-CH_2OH$, $-CH_2CH_2CONH_2$, $-CH_2COOH$, indol(3-yl)methyl; R_2 is hydrogen, C_{1-4} alkyl or benzyl; R_3 and R_4 are hydrogen or methyl, and n is 2.

3. The compounds according to Claim 2, in which: A is phenyl optionally substituted; R_1, R_2, R_3 and R_4 are hydrogen; and n is 2.

4. The compounds according to Claims 1-3, in which A is substituted with 1 to 3 substituents chosen among Me, Et, i-Pr, OH, COOEt, COOH, CH_2OH, SO_2NH_2, SO_2Me, OMe, Cl, F, CN and CF_3.

5. The compounds according to Claim 4, in which A is substituted with 1 to 3 substituents chosen among Me, Et, i-Pr, OH, CN, Cl and CF_3.

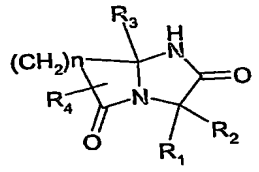
6. The compounds according to Claim 1 or 2, in which said C_{1-4}alkyl group is chosen among Me, Et, i-Pr, i-Bu and cyclopropylmethyl.

7. The compounds according to Claim 1, chosen in the group consisting of:

1-Phenyl-tetrahydro-1H-pyrrolo[1,2-a]imidazole-2,5-dione;
1-*o*-tolyl-tetrahydro-1H-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(2,6-Dimethyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-Thiophen-2-yl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-*m*-Tolyl-tetrahydro-pyrrolo[1,2-a] imidazole-2,5-dione;
1-*p*-Tolyl-tetrahydro-pyrrolo[1,2-a] imidazole-2,5-dione;
1-(5-Fluoro-2-methyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3-Fluoro-2-methyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(2-Trifluoromethyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(4-Chloro-2-methyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3-Chloro-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3-Methoxy-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3-Cyano-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(4-Chloro-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3-Hydroxy-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3-Trifluoromethyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(4-Trifluoromethyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(4-Methoxy-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3,5-Dimethyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3,4-Dimethyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;

1-Naphthalen-2-yl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3-Isopropyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(4-Chloro-3-methyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
3-Benzyl-1-phenyl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
3-Methyl-1-phenyl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
3-Isobutyl-1-phenyl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3-Fluoro-5-methyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3-Fluoro-4-methyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
7a-Methyl-1-phenyl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
(S)-1-o-Tolyl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
(R)-1-o-Tolyl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(4-Ethyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(4-Isopropyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(4-Hydroxymethyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
4-(2,5-Dioxo-hexahydro-pyrrolo[1,2-a]imidazol-1-yl)-benzoic acid;
4-(2,5-Dioxo-hexahydro-pyrrolo[1,2-a]imidazol-1-yl)-benzoic acid ethyl ester;
1-(4-Methanesulfonyl-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(4-Fluoro-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(4-Cyano-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-Pyridin-2-yl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-Pyridin-3-yl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(5-Methylpyridin-2-yl)-tetrahydropyrrolo[1,2-a]imidazole-2,5-dione;
1-(2-Cyano-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-(3-Fluoro-phenyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione;
1-Benzyl-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione.
1-(4-methylbenzyl)-tetrahydro-pyrrolo[1,2-a]imidazole-2,5-dione.

8. A process for the preparation of the compounds of formula (I) as described in Claim 1, comprising the reaction of a compound of formula (II)



(II)

with a compound of formula (III)

A-X

(III)

in which A, R_1, R_2, R_3, R_4 and n are defined as in Claim 1, and X is a halogen atom, to obtain the desired compounds of formula (I).

9. The process according to Claim 8, in which in the compound of formula (III) X is chosen between bromine and iodine.

10. The process according to Claims 8-9, for the preparation of the compounds of formula (I) wherein A is a carbocyclic aromatic group or a heterocyclic aromatic group, optionally substituted, in which the compound of formula (II) is dissolved in an appropriate solvent together with the compound of formula (III) in the presence of a base and of a catalytic amount of a copper salt, at a temperature of between 60°C and 140°C.

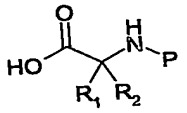
11. The process according to Claim 10, in which said solvent is *N*-methylpyrrolidone, said base is potassium carbonate, said copper salt is copper iodide, and the reaction is conducted at a temperature of 120°C.

12. The process according to Claims 8-9, for the preparation of the compounds of formula (I) wherein A is arylC_{1-4}alkyl, in which the compound of formula (II) is dissolved in an appropriate solvent together with the compound of formula (III), in the presence of a suitable base at a temperature between 60°C and 140°C.

13.The process according to claim 12, in which said solvent is chosen among acetonitrile, methylene chloride, acetone, said base is chosen among triethylamine, potassium carbonate, 2-*tert*-Butylimino-2-diethylamino-1,3-dimethylperhydro-1,3,2-diazaphosphorine, N,N-Diiso-propylethylamine, at a temperature of 100°C.

14. The process for the preparation of compounds of formula (I) as described in Claim 1, comprising the following stages:

i) reaction of an aminoacid of formula (IV) or of one of its activated derivatives



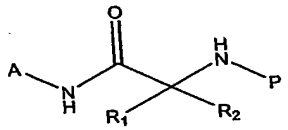
(IV)

with a compound of formula (V)

$A\text{-}NH_2$

(V)

to obtain a compound of formula (VI):

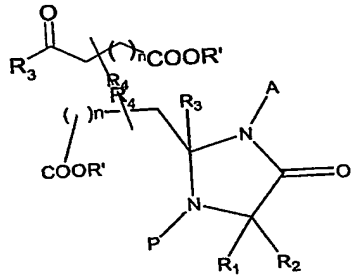


(VI)

in which R_1, R_2 and A are as defined above in Claim 1, and P is H or a suitable protective group;

ii) reaction of the compound of formula (VI) obtained in stage i) with a compound of formula (VII)

(VII)



to obtain a compound of formula (VIII)

(VIII)

in which A, R_1, R_2, R_3, R_4 and n are as defined in Claim 1, P is defined as above, and R' is an alkyl group;

iii) possible removal of the protective group P by means of hydrogenolysis of the compound of formula (VIII) obtained from stage ii), to obtain the corresponding compound (VIII), in which P is H; and

iv) cyclization of the compound of formula (VIII), in which P is H coming from stage ii) or from stage iii), to obtain the desired compound of formula (I).

15. The process according to Claim 14, in which R' is chosen between methyl and *tert*-butyl, and P is chosen between H, benzyl and benzyloxycarbonyl.

16. The process according to Claim 14, in which, in said stage iv), the cyclization reaction is carried out by heating the compound (VIII) in the absence of solvent at 120°C and in vacuum conditions, or else by reflux-heating the compound (VIII) in xylene for a time comprised between 4 hours and 3 days.

17. The process according to Claim 14, in which said stage ii) is conducted by reflux-heating the compounds of formula (VI) and (VII) in a protic solvent for a time comprised between 2 and 24 hours, possibly in the presence of a base.

18. The process according to Claim 14, in which the reaction described in stage i) is conducted between the acidic chloride of the compound (IV) and the compound (V) in the presence of an inorganic or organic base in a suitable aprotic solvent at a temperature of between -70°C and 50°C.

19. The process according to Claim 14, in which the reaction of stage i) is conducted by reacting together the compound (IV) and the compound (V) in the presence of a suitable condensating agent, in an aprotic solvent at a temperature of between -70°C and 50°C.

20. The process according to Claims 18 or 19, in which said temperature is comprised between -10°C and 20°C.

21. A pharmaceutical composition comprising as active principle one or more compounds of formula (I) as described in Claim 1, or pharmaceutically acceptable salts or solvates thereof.

22. The pharmaceutical composition according to Claim 21, further comprising vectors, diluents and/or pharmaceutically acceptable excipients suitable for

forms of administration chosen between oral, parenteral, rectal, transdermal, and transmucosal.

23. The pharmaceutical composition according to Claims 21 and 22, in the form of solutions, suspensions, soluble powders, granules, microcapsules, capsules, lozenges, tablets, coated tablets, suppositories, creams, ointments, lotions, pastes, medicated plasters, membranes or gels.

24. The use of a compound of formula (I) as described in Claim 1 or of one of its pharmaceutically acceptable salt or solvate for the preparation of a medicament having nootropic and/or neuroprotective, analgesic and/or anti-hyperalgesic, and anti-emetic action.

25. The use according to Claim 24, for the treatment of learning and memory deficits, Alzheimer's disease, dementia, senile dementia, post stroke vascular type dementia, epilepsy, cerebral ischaemia, mood disorders, depression, for the treatment of conditions of chronic pain, inflammatory pain, neuropathic pain, visceral pain, and for the treatment of emesis.

26. The use according to Claim 24, in which said compound of formula (I) is administered in association, concurrently or sequentially, with one or more other active principles.